



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁵ : A01N 25/00 // (A01N 25/00, 57:16, 53:00, 43:40)	A1	(11) International Publication Number: WO 94/22299 (43) International Publication Date: 13 October 1994 (13.10.94)
(21) International Application Number: PCT/GB94/00555 (22) International Filing Date: 18 March 1994 (18.03.94) (30) Priority Data: 9306769.2 31 March 1993 (31.03.93) GB 9312207.5 14 June 1993 (14.06.93) GB (71) Applicant (for all designated States except US): ZENECA LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB). (72) Inventors; and (75) Inventors/Applicants (for US only): BAKER, Simon, Dominic [GB/GB]; 81 Kiln Ride, Finchampstead, Workingham, Berkshire RG11 3PJ (GB). SPINNEY, Mark, Andrew [GB/GB]; 68 Haslemere Road, Liphook, Hampshire GU30 7BN (GB). WILLIAMS, Neil, Graham [GB/GB]; 4 Spring Hill Court, Crowthorne Road, Bracknell, Berkshire RG12 7DH (GB). (74) Agents: BISHOP, Nigel, Douglas et al.; ICI Group Patents Services Dept., Shire Park, P.O. Box 6, Bessemer Road, Welwyn Garden City, Hertfordshire AL7 1HD (GB).		(81) Designated States: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>
(54) Title: INSECTICIDAL COMPOSITIONS (57) Abstract <p>The present invention provides a solid insecticidal composition which comprises a mixture of two insecticidally active ingredients in association with an insect-attracting bait substance characterised in that the first insecticidally active ingredient is an insect growth regulator active against larval stages, and the second insecticidally active ingredient is a direct toxicant having knock-down and lethal effects on adult stages, the insect-attracting bait substance being attractive to both adult and larval stages of the insect.</p>		

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INSECTICIDAL COMPOSITIONS

This invention relates to novel insecticidal compositions and particularly to compositions which may be useful in the control of adult and immature stages of insect pests, particularly dipterous pests which are associated with the transmission of disease and which represent a hazard to human and animal health.

It is well known that certain types of flying insect can act as vectors for the transmission of diseases which are hazardous to the well being of humans or animals. Particular examples include dipterous insects such as houseflies (Musca domestica) which feed on food wastes, excrement, animal cadavers and the like in the course of which they become contaminated with bacterial and like microorganisms some of which may subsequently be transferred to food products intended for human or animal consumption; and blowflies (Chrysomya megacephala) which are associated with domestic animals being kept for food production, eg cattle, sheep, goats, pigs, poultry, particularly where these are kept in enclosures or animal houses.

Hitherto the control of such insects has typically involved the employment of particular treatments for combatting the adult, flying stages, such as space sprays of insecticides into the air or spraying the feeding sites (eg rubbish dumps), or suspending adhesive-coated strips (fly papers) in dwellings and animal houses. Such treatments are less effective in combatting the larval stages of such insects since these are often hidden whilst feeding and are not readily contacted by spray deposits. Larval stages may be controlled by eg the use of so-called insect growth regulators (IGRs) which act to interrupt the normal processes of ecdysis by which larval insects pass from one instar to the the next and eventually are transformed into the pupal and imago stages. However such treatments are completely ineffective against the adult stage of the insect.

The present invention is concerned with a novel type of formulation in which a combination of insecticidally active ingredients is present and which is capable of combatting and controlling both the larval and adult stages of such dipterous insect pests.

Accordingly the present invention provides an solid insecticidal

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composition which comprises a mixture of two insecticidally active ingredients in association with an insect-attracting bait substance characterised in that the first insecticidally active ingredient is an insect growth regulator active against larval stages, and the second insecticidally active ingredient is a direct toxicant having knock-down and lethal effects on adult stages, the insect-attracting bait substance being attractive to both adult and larval stages of the insect.

Such compositions are preferably used in granular form, which is suitable for scattering at the site where it is desired to control the insect pests.

A wide range of insecticidally active ingredients may be used in the compositions of the invention. Suitable active ingredients which act as insect growth regulators (IGRs) for use in the compositions include those identified by the ISO-approved common names as flufenoxuron, triflumuron, cyromazine, diafenthiuron, hexaflumuron, diflubenzuron, pyriproxifen, fenoxycarb, chlorfluazuron, hydramethylnon, methoprene and hydroprene. Suitable direct toxicants which may be used include carbamate insecticides such as propoxur, carbosulfan and bendiocarb; organophosphorus insecticides such as fenthion, azamethifos, diazinon, chlorpyrifos, methomyl, propetamphos, pirimiphos-methyl, and fenitrothion; and pyrethroid insecticides such as permethrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, deltamethrin, tralomethrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, flucythrinate, fenvalerate, esfenvalerate, and fluvalinate. The above lists are illustrative of the types of active ingredients which may be included in the invention compositions, but those skilled in the art will be able to substitute other active ingredients for those named above to prepare further examples of the invention compositions.

One preferred IGR for use in the invention compositions is that known by the ISO-approved common name of pyriproxifen, which has the chemical name 2[1-methyl-2-(4-phenoxyphenoxy)ethoxy]pyridine. Preferred toxicants include cypermethrin, permethrin, fenvalerate, deltamethrin, lambda-cyhalothrin, pirimiphos-methyl and chlorpyrifos-methyl.

The insect-attracting bait substance is preferably sucrose or another crystalline sugar attractive to insects.

A particularly preferred combination is obtained when the IGR is

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pyriproxifen, the toxicant is lambda-cyhalothrin or pirimiphos-methyl and the bait substance is sucrose.

The compositions of the invention are solid dusts or, preferably granules. Sugar based granules can provide optimum efficacy at a single application rate if the ratio of the two active ingredients is carefully controlled. The granules not only act as a highly attractive bait source on which the adult insects feed but also provide a soluble support for the active ingredient which will break down over a period of time.

In addition to the insecticidally active ingredient and the bait substance other ingredients may also be present, for example pheromonal attractants and dyestuffs which will increase the attractiveness of the compositions to the target insects. Thus for compositions intended to control houseflies, Musca domestica, the pheromonal attractant Z-9-tricosene may be added, whilst yellow or red coloration, for example that afforded by oil soluble dyestuffs such as those sold under the trade name "Waxoline" yellow ("Waxoline" is a registered Trade Mark of ZENECA Limited), will also act to attract the target insects.

In addition the compositions may contain bittering agents to deter accidental ingestion of the granules by non-target avian and mammalian species, including humans. A suitable bittering agent is denatonium benzoate, which is sold under the trade name "Bitrex" (Registered Trade Mark).

In order to facilitate the processing of the ingredients and the formulation of the composition during manufacture specific ingredients to assist in the flow and mixing processes, may be added, such as solid diluents and fillers, surfactants and solvents. Surfactants may be anionic, non-ionic or cationic. Long chain phenyl sulphonates, such as calcium dodecylbenzene sulphonate (phenyl sulphonate CALX) is particularly useful. Solid diluents and fillers include for example powdered silica, diatomaceous earth and clays such as montmorillonite. Solvents which may be employed include those which solubilise the insecticidally active ingredients and other compounds so as to achieve a uniform coating of the support. It has been found that where the active ingredients are pyriproxifen and a pyrethroid a glycol diester such as propylene glycol diacetate is particularly effective.

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The granular compositions of the invention may be prepared by conventional mechanical agitation methods in which the ingredients are fed to apparatus for producing granules by a process of agglomeration. Suitable apparatus for use in such methods includes pan granulators, rolling drum granulators, and mixers such as those known as Sigma mixers, Lodige mixers, Fielder matrix mixers and Shugi mixers. In addition the granular compositions may be obtained by a gaseous agitation method using, for example, a fluid bed granulator, or by pressure methods involving for example, tabletting or pelleting apparatus or, extrusion methods such as ram, screw or marumeriser extrusion.

A typical process for the production of granular compositions according to the invention by the agglomeration technique involves the steps of

- (i) preparing a dust concentrate by mixing together the active ingredients in the required proportions with a solid diluent or carrier, such as Silica dust,
- (ii) mixing the dry ingredients by a granulation method such as those suggested above, whilst adding sufficient water to the dry mix to cause agglomeration,
- (iii) continuing the agitation process until granules of the required size range are obtained, and
- (iv) drying the granules to remove water.

In the course of conducting tests to test the efficacy of the invention compositions it was surprisingly discovered that where the compositions are in a granular form it is preferred that the majority of the granules fall within a specified size range, this being determined by the acceptability of the finished composition as a food source to which the insects are attracted and on which they feed for a sufficient time to ingest a lethal dose. The size range of the granules in the composition may be varied by standard methods well known in the granulation art.

Accordingly it is preferred to produce granules within a particular range of size which is found to be more attractive to flies. This size range may vary according to the species of insect pest to be attracted. In the case of adult Musca domestica the preferred size of the granules is within the range 500 μm to 10000 μm , and it is especially preferred that

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the granules be within the range 2500 μm to 3500 μm .

Further particulars concerning the compositions of the invention are provided in the Examples set out hereinbelow.

In use the compositions provide a combined effectiveness against both adult and larval forms of insect pests such as Musca domestica (houseflies) and Chrysomya megacephala (blowflies).

In a further aspect therefore the invention provides a method of combatting dipterous insect pests in both their larval and adult stages which comprises treating the locus of such pests with a composition according to the present invention.

The compositions can be integrated into fly management programmes as a scatter bait, in bait stations or dissolved in water and painted onto target surfaces. Flies are attracted to the compositions by the presence of the sugar substrate, and this attraction can be enhanced by the use of visual (colour) or chemical cues, such as the Musca sex hormone Z-9-tricosene. Red and yellow formulations are particularly effective.

After contact with or feeding on the compositions adult flies are rapidly knocked down and killed. Over a period the granules dissolve allowing the active ingredients to disperse into the media in which the larval stages are found and to kill them or disrupt their development. In trials granules of the invention composition based in pyriproxifen and lambda-cyhalothrin maintained complete inhibition of Musca domestica and Chrysomya megacephala development for two months when applied to a manure-based substrate at 100 mg ai/m².

The invention is further illustrated by the following Examples wherein "parts" indicates parts by weight of the various components.

EXAMPLE 1

This Example illustrates the preparation of a composition according to the invention in the form of a coated granular formulation.

A mixture of propylene glycol diacetate (24 parts), calcium dodecylbenzene sulphonate (1 part), pyriproxifen (5 parts) and lambda-cyhalothrin (1 part) is warmed to 50°C until a clear solution is obtained and this is then added slowly to granulated sugar (2kg) in a rotary tumbler. The rotary action is continued until an even coating of the granules is observed, to obtain the required granular composition.

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EXAMPLE 2

This Example illustrates a composition according to the invention comprising an insect pheromone. The composition is prepared according to the procedure illustrated in Example 1 except that Z-9-tricosene (0.5 parts) is added to the mixture prior to coating the granules.

EXAMPLE 3

This Example illustrates a composition according to the invention comprising a dyestuff imparting a yellow coloration to the granules. The composition is prepared according to the procedure illustrated in Example 1 except that "Waxoline" yellow GFW (0.1 parts "Waxoline" is a Registered Trade Mark) is added to the mixture prior to coating the granules.

EXAMPLE 4

This Example illustrates a composition according to the invention comprising a bittering agent to help prevent accidental ingestion of the composition by non-target avian and mammalian species.

The composition is prepared according to the procedure illustrated in Example 1 except that denatonium benzoate (0.025 parts) is dissolved in the propylene glycol diacetates at room temperature prior to addition of the other ingredients.

EXAMPLE 5

This Example illustrates a composition according to the invention comprising an organo-phosphorus compound. The composition is prepared according to the procedure illustrated in Example 1 except that the lambda-cyhalothrin is replaced by pirimiphos-methyl (10 parts).

EXAMPLE 6

This Example illustrates the preparation of a granular composition according to the invention.

A premix consisting of lambda-cyhalothrin (5 parts), pyriproxifen (25 parts) and silica FK320 (1000 parts) is combined by dry mixing with Z-9-tricosene (2.5 parts), denatonium benzoate (0.1 parts), "Monolyte" yellow GNV (0.5 parts, "Monolyte" is a Registered Trade Mark) and finely divided (icing sugar grade) sucrose (8967 parts). Water is then added sparingly to the mixture in a pan granulator and the pan rotated until the majority of granules have a size within the range 2800 to 3350 μm , after which the granules are subjected to drying to remove water and are sieved to remove fines.

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CLAIMS

1. A solid insecticidal composition comprising a mixture of two insecticidally active ingredients in association with an insect-attractive bait substance characterised in that the first insecticidally active ingredients is an insect growth regulator active against larval stages of an insect and the second insecticidally active ingredient is a direct toxicant having knock down and lethal effects in adult stages of the insect, the insect-attracting bait substance being attractive to both adult and larval stages of the insect.
2. A composition as claimed in claim 1 wherein the first insecticidally active ingredient is pyriproxifen.
3. A composition as claimed in claim 1 wherein the second insecticidally active ingredient is a pyrethroid or an organo-phosphorus compound.
4. A composition according to claim 3 wherein the pyrethroid is lambda-cyhalothrin.
5. A composition according to claim 1 wherein the insect attracting bait substance is sucrose.
6. A composition according to claim 5 wherein the sucrose is in granular form.
7. A composition according to claim 6 wherein the size of the granules is within the range 2500µm to 3500µm.
8. A composition as claimed in claim 1 additionally comprising an insect attracting pheromonal attractant.
9. A composition as claimed in claim 8 wherein the pheromonal attractant is Z-9-tricosene.

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10. A composition as claimed in claim 1 comprising a dyestuff to render the composition red or yellow.
11. A process for the preparation of a granular composition according to claim 1 which comprises the steps of (a) preparing a dust concentrate by mixing the first and second insecticidally active ingredients in the required proportions with a solid diluent or carrier in dust form, (b) mixing the dust concentrate with the insect attractant bait substance and any other ingredients in a granulating apparatus whilst adding sufficient water to the dry mix to cause agglomeration, (c) continuing the process until granules of the required size range are obtained, and (d) drying the granules to remove water.
12. A method of combatting adult and larval stages of dipterous insect pests which comprises treating the locus of such pests with an insecticidally effective amount of a composition according to claim 1.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 94/00555

A. CLASSIFICATION OF SUBJECT MATTER

IPC 5 A01N25/00 //(A01N25/00, 57:16, 53:00, 43:40)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 5 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	CHEMICAL ABSTRACTS, vol. 113, no. 15, 8 October 1990, Columbus, Ohio, US; abstract no. 128094c, see abstract & JP, A, 02 111 702 (EARTH CHEMICAL) 24 April 1990 & DATABASE WPI Week 9022, Derwent Publications Ltd., London, GB; AN 90-169073 [22] see abstract --- -/--	1-12

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

23 June 1994

Date of mailing of the international search report

11. 07. 94

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NL - 2280 HV Rijswijk
Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+ 31-70) 340-3016

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Lamers, W

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/GB 94/00555

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